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TI Cyclopentane nucleosides

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SO Jpn. Kokai Tokkyo Koho, 11 pp.

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AB Title nucleosides I or II (R1 = OH, amino, acylamino; R2 = H, halo, lower alkyl; R3, R4 = H, acyl, aminoacyl; R5 = H, lower alkyl, cyano, alkoxy carbonyl) or their OH-protected derivs., useful for neoplasm inhibitors and virucides, are prepared. Thus, (1b,2a,3a,4b eta.)-1-(2,3-dihydroxy-4-hydroxymethylcyclopentyl)-(1H,3H)-pyrimidine-2,4-dione was acetylated with Ac2O in pyridine at room temperature to give (1b,2a,3a,4b)-1-(4-acetoxymethyl-2,3-diacetoxycyclopentyl)-(1H,3H)-pyrimidine-2,4-dione, which was activated at 4-position in the uracil ring by phosphorus oxychloride/methylimidazole and treated with MeOH in the presence of Et3N to give (1b,2a,3a,4b)-1-(4-acetoxymethyl-2,3-diacetoxycyclopentyl)-4-methoxy-(1H)-pyrimidin-2-one (III). Hydrolyzing III and protecting the free OH groups with 1,3-dichloro-1,1,3,3-tetraisopropylidisiloxane gave (1b,2a,3a,4b)-1-(2-hydroxy-3,6-O-tetraisopropylidisiloxanylcyclopentyl)-4-methoxy-(1H)-pyrimidin-2-one, which was oxidized with oxalyl chloride/dimethyl sulfoxide and then treated with MeBr/Ph3P/K tert-butoxide to give (1b,3a,4b)-1-(2-methylidene-3,6-O-tetraisopropylidisiloxanylcyclopentyl)-4-methoxy-(1H)-pyrimidin-2-one (IV). Treating IV with NH3 in MeOH gave (1b,3a,4b)-4-amino-1-(2-methylidene-3,6-O-tetraisopropylidisiloxanylcyclopentyl)-(1H)-pyrimidin-2-one, which was deprotected with HCl/EtOH and purified by ion exchange chromatog. to give (1b,3a,4b)-4-amino-1-(3-hydroxy-4-hydroxymethyl-2-methylidenecyclopentyl)-(1H)-pyrimidin-2-one.

IT 59967-83-8P, (1b,2a,3a,4b)-1-(2,3-Dihydroxy-

4-hydroxymethylcyclopentyl)-(1H,3H)-pyrimidine-2,4-dione

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and acetylation of, with acetic anhydride)

RN 59967-83-8 CA

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,3-dihydroxy-4-(hydroxymethyl)cyclopentyl]-, (1a,2b,3b,4a)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 160866-27-3P, (1b,2a,3a,4b)-1-(4-

Acetoxymethyl-2,3-diacetoxycyclopentyl)-(1H,3H)-pyrimidine-2,4-dione

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of)

RN 160866-27-3 CA

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,3-bis(acetyloxy)-4-[(acetyloxy)methyl]cyclopentyl]-, (1a,2b,3b,4a)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 160766-58-5P, (1b,2a,3a,4b)-1-(2,3-Dihydroxy-

4-hydroxymethylcyclopentyl)-4-methoxy-(1H)-pyrimidin-2-one

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and protection of, with dichlorotetraisopropylidisiloxane)

RN 160766-58-5 CA

CN 2(1H)-Pyrimidinone, 1-[2,3-dihydroxy-4-(hydroxymethyl)cyclopentyl]-4-methoxy-, (1a,2b,3b,4a)- (9CI) (CA INDEX NAME)

Relative stereochemistry.